

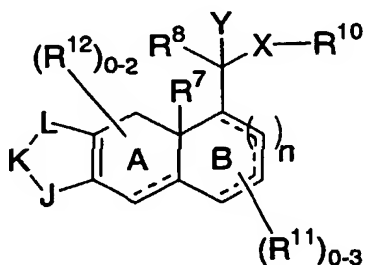
(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
23 October 2003 (23.10.2003)

PCT

(10) International Publication Number
WO 03/086294 A2

- (51) International Patent Classification⁷: **A61K**
- (21) International Application Number: **PCT/US03/10867**
- (22) International Filing Date: **8 April 2003 (08.04.2003)**
- (25) Filing Language: **English**
- (26) Publication Language: **English**
- (30) Priority Data:
60/371,948 11 April 2002 (11.04.2002) **US**
- (71) Applicant (*for all designated States except US*): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (*for US only*): **ALI, Amjad** [GB/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **BALKOVEC, James, M.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **GRAHAM, Donald, W.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **THOMPSON, Christopher, F.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **QURAISHI, Nazia** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:**
— *without international search report and to be republished upon receipt of that report*
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: **1H-BENZO[F]INDAZOL-5-YL DERIVATIVES AS SELECTIVE GLUCOCORTICOID RECEPTOR MODULATORS**

(I)

(57) **Abstract:** The present invention encompasses compounds of Formula I: (I) or pharmaceutically acceptable salts or hydrates thereof, which are useful as selective glucocorticoid receptor ligands for treating a variety of autoimmune and inflammatory diseases or conditions. Pharmaceutical compositions and methods of use are also included.

FORM PTO-1390 (REV. 10-2003)	U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE	ATTORNEY'S DOCKET NUMBER 21035YP
TRANSMITTAL LETTER TO THE UNITED STATES DESIGNATED/ELECTED OFFICE (DO/EO/US) CONCERNING A FILING UNDER 35 U.S.C. 371		U.S. APPLICATION NO. (If known, see 37 CFR 1.5) <div style="font-size: 1.5em; font-weight: bold; text-align: center;">10/508897</div>
INTERNATIONAL APPLICATION NO. PCT/US03/10867	INTERNATIONAL FILING DATE April 8, 2003	PRIORITY DATE CLAIMED April 11, 2002
TITLE OF INVENTION 1H-BENZO[F]INDAZOL-5-YL DERIVATIVES AS SELECTIVE GLUCOCORTICOID RECEPTOR		
APPLICANT(S) FOR DO/EO/US AMJAD ALI, JAMES M. BALKOVEC, DONALD W. GRAHAM, CHRISTOPHER F. THOMPSON, NAZIA QURAISHI		
<p>Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:</p> <ol style="list-style-type: none"> 1. <input checked="" type="checkbox"/> This is a FIRST submission of items concerning a filing under 35 U.S.C. 371. 2. <input type="checkbox"/> This is a SECOND or SUBSEQUENT submission of items concerning a filing under 35 U.S.C. 371. 3. <input type="checkbox"/> This is an express request to begin national examination procedures [35 U.S.C. 371(f)]. The submission must include items (5), (6), (9) and (19) indicated below. 4. <input checked="" type="checkbox"/> The US has been elected (PCT Article 31). 5. <input type="checkbox"/> A copy of the International Application as filed [35 U.S.C. 371(c)(2)] <ol style="list-style-type: none"> a. <input type="checkbox"/> is attached hereto (required only if not communicated by the International Bureau). b. <input type="checkbox"/> has been communicated by the International Bureau. c. <input checked="" type="checkbox"/> is not required, as the application was filed in the United States Receiving Office (RO/US). 6. <input type="checkbox"/> An English language translation of the International Application as filed [35 U.S.C. 371(c)(2)]. 7. <input type="checkbox"/> Amendments to the claims of the International Application under PCT Article 19 [35 U.S.C. 371(c)(3)]. <ol style="list-style-type: none"> a. <input type="checkbox"/> are attached hereto (required only if not communicated by the International Bureau). b. <input type="checkbox"/> have been communicated by the International Bureau. c. <input type="checkbox"/> have not been made; however, the time limit for making such amendments has NOT expired. d. <input type="checkbox"/> have not been made and will not be made. 8. <input type="checkbox"/> An English language translation of the amendments to the claims under PCT Article 19 [35 U.S.C. 371(c)(3)]. 9. <input checked="" type="checkbox"/> An oath or declaration of the inventor(s) [35 U.S.C. 371(c)(4)]. 10. <input type="checkbox"/> An English language translation of the annexes to the International Preliminary Examination Report under PCT Article 36 [35 U.S.C. 371(c)(5)]. <p>Items 11 to 18 below concern other document(s) or information included:</p> <ol style="list-style-type: none"> 11. <input checked="" type="checkbox"/> An Information Disclosure Statement under 37 CFR 1.97 and 1.98. 12. <input type="checkbox"/> An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included. 13. <input checked="" type="checkbox"/> A FIRST preliminary amendment. 14. <input type="checkbox"/> A SECOND or SUBSEQUENT preliminary amendment. 15. <input type="checkbox"/> A substitute specification. 16. <input type="checkbox"/> A power of attorney and/or change of address letter. 17. <input type="checkbox"/> A computer-readable form of the sequence listing in accordance with PCT Rule 13ter.2 and 35 U.S.C. 1.821 - 1.825. 18. <input type="checkbox"/> Other items or information: 		

EXPRESS MAIL CERTIFICATE

DATE OF DEPOSIT 23 September 2004

EXPRESS MAIL NO. EV321984250 US

I HEREBY CERTIFY THAT THIS CORRESPONDENCE IS
BEING DEPOSITED WITH THE UNITED STATES POSTAL
SERVICE AS EXPRESS MAIL "POST OFFICE TO ADDRESSEE"
ON THE ABOVE DATE IN AN ENVELOPE ADDRESSED TO
COMMISSIONER FOR PATENTS, P.O. BOX 1450,
ALEXANDRIA, VIRGINIA 22313-1450.

U.S. APPLICATION NO. (If known, see 37 CFR 1.5) <div style="font-size: 24pt; font-weight: bold; text-align: center;">10/508897</div>		INTERNATIONAL APPLICATION NO. PCT/US03/10867		ATTORNEY'S DOCKET NUMBER 21035YP	
---	--	---	--	-------------------------------------	--

19. <input checked="" type="checkbox"/> The following fees are submitted: BASIC NATIONAL FEE [37 CFR 1.492(a)(1)-(5)]: Neither international preliminary examination fee (37 CFR 1.482) nor international search fee [37 CFR 1.445(a)(2)] paid to USPTO and International Search Report not prepared by the EPO or JPO..... \$1,080.00 International preliminary examination fee (37 CFR 1.482) not paid to USPTO but International Search Report prepared by the EPO or JPO..... \$920.00 International preliminary examination fee (37 CFR 1.482) not paid to USPTO but international search fee [37 CFR 1.445(a)(2)] paid to USPTO \$770.00 International preliminary examination fee paid to USPTO (37 CFR 1.482) but all claims did not satisfy provisions of PCT Article 33(1)-(4).....\$730.00 International preliminary examination fee paid to USPTO (37 CFR 1.482) and all claims satisfied provisions of PCT Article 33(1)-(4).....\$100.00 <div style="text-align: right; font-weight: bold;">ENTER APPROPRIATE BASIC FEE AMOUNT =</div>				CALCULATIONS		PTO USE ONLY	
				\$770.00			
Surcharge of \$130.00 for furnishing the oath or declaration later than 30 months from the earliest claimed priority date [37 CFR 1.492(e)].							
Claims	Number Filed	Number Extra	Rate				
Total Claims	- 20 =	0	X \$18.00	\$0.00			
Independent Claims	- 3 =	0	X \$86.00	\$0.00			
Multiple dependent claim(s) (if applicable)			+ \$290.00	\$0.00			
TOTAL OF ABOVE CALCULATIONS =				\$770.00			
<input type="checkbox"/> Applicant claims small entity status. See 37 CFR 1.27. The fees indicated above are reduced by 1/2.							
SUBTOTAL =				\$770.00			
Processing fee of \$130.00 for furnishing the English translation later than 30 months from the earliest claimed priority date (37 CFR 1.492(f)).				+			
TOTAL NATIONAL FEE =				\$770.00			
Fee for recording the enclosed assignment [37 CFR 1.21(h)]. The assignment must be accompanied by an appropriate cover sheet (37 CFR 3.28, 3.31). \$40.00 per property.				+			
TOTAL FEES ENCLOSED =				\$770.00			
				Amount to be refunded			
				charged			

a. ☐ A check in the amount of \$ _____ to cover the above fees is enclosed.

b. ☒ Please charge my Deposit Account No. 13-2755 in the amount of \$770.00 to cover the above fees. A duplicate copy of this sheet is enclosed.

c. ☒ The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any overpayment to the Deposit Account No. 13-2755. A duplicate copy of this sheet is enclosed.

NOTE: Where an appropriate time limit under 37 CFR 1.494 or 1.495 has not been met, a petition to revive [37 CFR 1.137(a) or (b)] must be filed and granted to restore the application to pending status.


SEND ALL CORRESPONDENCE TO:

Customer No. 000210

MERCK & CO., INC.
 Patent Department, RY60-30
 P.O. Box 2000
 126 East Lincoln Avenue
 Rahway, New Jersey 07065-0970

DATE: September 23, 2004

PHONE #: (732) 594-0182


 SIGNATURE
 Raynard Yuro
 NAME
 45,570
 REGISTRATION NUMBER